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1. ☒ 12/9/1

009832118

WPI Acc No: 1994-111974/199414

XRAM Acc No: C94-051598

**Prodn. of highly stereoselective beta-lactam deriv. - by  
reacting lithium enolate of organic ester with imine coordinated with  
trialkyl-aluminium in THF**

Patent Assignee: TOYO STAUFFER CHEM YG (STAU ); TOYO STAUFFER CHEM (STAU )

Number of Countries: 001 Number of Patents: 002

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
JP 6056770	A	19940301	JP 85219681	A	19851002	199414 B
			JP 91125207	A	19851002	
JP 95051558	B2	19950605	JP 85219681	A	19851002	199527
			JP 91125207	A	19851002	

Priority Applications (No Type Date): JP 85219681 A 19851002; JP 91125207 A 19851002

Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
JP 6056770	A	6	C07D-205/08		Div ex application JP 85219681
JP 95051558	B2	6	C07D-205/08		Div ex application JP 85219681

Based on patent JP 6056770

Abstract (Basic): JP 6056770 A

Beta-lactam deriv. is obtd. by reaction of a lithium enolate of an organic ester with an organic imine cpd. An imine coordinated with a trialkylaluminium is used as the organic imine cpd. and the reaction is carried out in THF.

ADVANTAGE - The prod. is prepd. with high selectivity.

In an example, 12 mmol. of n-butyl lithium (15% hexane soln.) was added to 7 ml. of n-hexane soln. of 12 mmol. diisopropylamine while ice-cooling under N<sub>2</sub> stream. The mixt. was stirred for 30 min. and then n-hexane was distilled off in vacuo. 5 ml. THF was added to the residue and the mixt. was cooled to (-) 78 deg.C. 10 mmol. Of ethyl isobutyrate was added in 3 minutes and then THF soln. of 10 mmol. C<sub>6</sub>H<sub>5</sub>CH=NC<sub>6</sub>H<sub>5</sub> and THF soln. of 10 mmol. Aluminium tri-acetate were added. The mixt. was heated gradually to room temp. in 2 hrs. It was hydrolysed with 1N HCl and the hydrolysate was extracted by benzene. Benzene was distilled off to give the beta-lactam. The yield was 75% and the cis-trans ratio was 100:0.

Dwg.0/0

Title Terms: PRODUCE; HIGH; STEREOSELECTIVE; BETA; LACTAM; DERIVATIVE;  
REACT; LITHIUM; ENOLATE; ORGANIC; ESTER; IMINE; COORDINATE; TRI; ALKYL;  
ALUMINIUM; THF

Index Terms/Additional Words: TETRA; HYDRO; FURAN

Derwent Class: B03

International Patent Class (Main): C07D-205/08

File Segment: CPI

Manual Codes (CPI/A-N): B07-D01

Chemical Fragment Codes (M2):

\*01\* F011 F012 F013 F014 F410 G010 G019 G030 G039 G100 G111 G112 G563  
G599 H2 H211 H401 H402 H403 H481 H482 H483 J5 J521 L640 L9 L941 M113

M116 M210 M213 M214 M231 M232 M240 M273 M280 M281 M282 M312 M320  
 M321 M322 M323 M331 M340 M342 M373 M383 M391 M392 M413 M510 M521  
 M530 M531 M532 M533 M540 M541 M542 M543 M720 M800 M903 M904 N224  
 N233 N261 N305 N331 N343 N480 N511 9414-15901-P  
 Generic Compound Numbers: 9414-15901-P

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## 2. ☒ 12/9/2

007140947

WPI Acc No: 1987-140944/198720

XRAM Acc No: C87-058857

**Highly stereoselective synthesis of beta-lactam deriv. - by  
 treating lithium enolate of organic ester with organic imine cpd. in  
 polar solvent**

Patent Assignee: TOHYOH STAUFER CHEM (TOHY-N)

Number of Countries: 001 Number of Patents: 002

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
JP 62081368	A	19870414	JP 85219681	A	19851002	198720 B
JP 91068020	B	19911025	JP 85219681	A	19851002	199147

Priority Applications (No Type Date): JP 85219681 A 19851002

Patent Details:

Patent No	Kind	Lan Pg	Main IPC	Filing Notes
JP 62081368	A	5		

Abstract (Basic): JP 62081368 A

Beta-lactam deriv. is selectively synthesised by treating lithium enolate of organic ester with organic imine cpd. in polar solvent.

As organic imine cpd. imine coordinated with trialkylaluminium may be used. When the cpd. is used as imine, cis prod. may be synthesised in high stereoselectivity of 100%.

USE/ADVANTAGE - Highly stereoselective synthesis of lactam derivs. Prods. are useful as pharmaceuticals.

0/0

Title Terms: HIGH; STEREOSELECTIVE; SYNTHESIS; BETA; LACTAM; DERIVATIVE; TREAT; LITHIUM; ENOLATE; ORGANIC; ESTER; ORGANIC; IMINE; COMPOUND; POLE; SOLVENT

Derwent Class: B03

International Patent Class (Additional): C07D-205/08

File Segment: CPI

Manual Codes (CPI/A-N): B07-D01

Chemical Fragment Codes (M2):

\*01\* F011 F012 F013 F014 F410 G010 G019 G100 H2 H211 J5 J521 L9 L941 M1  
 M113 M210 M212 M213 M232 M240 M281 M320 M413 M510 M521 M532 M540  
 M720 M903 M904 N104 N114 N223 N241 N305 N311 N312 N321 N331 N343  
 N421 N511 8720-17101-P

Generic Compound Numbers: 8720-17101-P

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